CLAIMS

1. A process for the preparation of a compound of Formula (1):

Formula (1)

which comprises

a) reacting a compound of formula R¹-CO-CH₂-E with a compound of formula R²-CHX¹X² in the presence of a compound of formula R³R⁴N-C(=NH)NH₂ and a catalyst, thereby to form a dihydropyrimidine; and

b) oxidising the dihydropyrimidine produced in step a) to form the compound of Formula (1)

wherein

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R¹ is H or an alkyl group;

15 R² is H or an alkyl or aryl group;

R³ and R⁴ are each independently H, alkyl or aryl, or R³ and R⁴ are linked to form, together with the nitrogen to which they are attached to form a 5 to 7 membered heterocyclic ring;

E is H, an unsubstituted alkyl group, an aryl group or an electron withdrawing group; and X^1 and X^2 are each independently leaving groups, or X^1 and X^2 together represent =0.

2. A process according to claim 1, wherein the dihydropyrimidine is represented by the Formula (2a), and tautomers thereof:

Formula (2a)

wherein R¹, R², R³, R⁴ and E are as defined in claim 1.

3. A process according to claim 1 or claim 2, wherein the compound of formula R¹-CO-CH₂-E is a compound of formulae:

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4. A process according to any preceding claim, wherein the compound of formula R²-CHX¹X² is a compound of formula:

wherein X³ represents halo, and n is 0 or 1-5, and preferably 4-fluorobenzaldehyde.

- 5. A process according to any preceding claim, wherein the compound of formula R³R⁴N-C(=NH)NH₂ is guanidine or methylguanidine.
 - 6. A process according to claim 5, wherein the compound of formula R^3R^4N - $C(=NH)NH_2$ is employed as a hydrochloride or sulfate salt.
 - 7. A process according to any preceding claim, wherein the catalyst is a base.
 - 8. A process according to claim 7, wherein the base is an alkali or alkaline earth metal carbonate or hydrogencarbonate.
 - 9. A process according to any preceding claim, wherein the oxidising agent is manganese dioxide.
 - 10. A compound of Formula (2a), and tautomers thereof:

Formula (2a)

wherein

R¹ is H or an alkyl group;

R² is H or an alkyl or aryl group; R³ and R⁴ are each independently H, alkyl or aryl, provided that R³ and R⁴ are not both unsubstituted alkyl; and E is an unsubstituted alkyl group, an aryl group or an electron withdrawing group, further provided that R¹ is not -CH₃ when R² is unsubstituted phenyl or o-nitrophenyl.

- 11. A compound according to claim 10, wherein R² represents a phenyl group substituted by one or more halogens.
 - 12. A compound according to claim 10 or 11, wherein at least one of R³ and R⁴ is H.
- 13. A compound according to any one of claims 10 to 12, wherein R¹ represents 10 isopropyl and R² represents 4-fluorophenyl.
 - 14. A compound according to any one of claims 10 to 13, wherein R³ is H or methyl and R⁴ is H.
- 15 15. A compound according to anyone of claims 10 to 14, wherein E represents a group of formula -CO₂(C₁-₄alkyl).
 - 16. A process for the preparation of a compound of Formula (2a) and tautomers thereof:

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Formula (2a)

which comprises

a) reacting a compound of formula R¹-CO-CH₂-E with a compound of formula R²-CHX¹X² in the presence of a compound of formula R³R⁴N-C(=NH)NH₂ and a catalyst, thereby to form the compound of Formula (2a)

wherein

R¹ is an H or an alkyl group;

R² is an H or an alkyl or aryl group:

30 R³ and R⁴ are each independently H, alkyl or aryl, or R³ and R⁴ are linked to form, together with the nitrogen to which they are attached to form a 5 to 7 membered heterocyclic ring;

E is H, an unsubstituted alkyl group, an aryl group or an electron withdrawing group; and X^1 and X^2 are each independently leaving groups, or X^1 and X^2 together represent =0.

- 17. A process according to claim 16, wherein R¹ represents isopropyl, R² represents 4-fluorophenyl, and R³ and R⁴ each independently represents H or methyl.
- 18. A process according to claim 17, wherein R³ is methyl and R⁴ is H.
- 19. A process for the preparation of a compound of Formula (1):

Formula (1)

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which comprises oxidising a compound of Formula (2a):

Formula (2a)

15 wherein

R¹ is H or an alkyl group;

R² is an H, an alkyl or aryl group;

R³ and R⁴ are each independently H, alkyl or aryl, or R³ and R⁴ are linked to form, together with the nitrogen to which they are attached to form a 5 to 7 membered heterocyclic ring; and

E is H, an unsubstituted alkyl group, an aryl group or an electron withdrawing group.

20. A process according to claim 19, wherein R^1 represents isopropyl, R^2 represents 4-fluorophenyl, and R^3 and R^4 each independently represents H or methyl.

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21. A process according to claim 19 or 20, wherein the oxidation employs manganese dioxide.

22. A process for the preparation of a compound of Formula (3):

Formula (3)

5 which comprises

a) reacting a compound of formula R¹-CO-CH₂-E with a compound of formula R²-CHX¹X² in the presence of a compound of formula R³HN-C(=NH)NH₂ and a catalyst, thereby to form a dihydropyrimidine;

b) oxidising the dihydropyrimidine produced in step a) to form a compound of Formula (4)

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$$R^1$$
 R^2
 R^3
 R^4
 R^4

Formula (4)

and

c) reacting the compound of Formula (4) with a compound of formula R⁶SO₂-X⁴ to give a compound of Formula (3);

wherein

 R^1 , R^2 ; E, X^1 and X^2 are as defined in claim 1;

R⁶ represents alky or aryl, preferably methyl;

R⁷ is H, alkyl or aryl; and

20 X⁴ represents a leaving group, preferably Cl or Br.

23. A process for the preparation of a compound of Formula (3):

Formula (3)

which comprises

- a) reacting a compound of formula R^1 -CO-CH₂-E with a compound of formula R^2 -CHX¹X² in the presence of a compound of formula R^7 HN-C(=NH)NH₂ and a catalyst, thereby to form a dihydropyrimidine comprising an exocyclic group formula -NHR⁷;
- b) reacting the compound of Formula (4) with a compound of formula R⁶SO₂-X⁴ to form a dihydropyrimidine comprising an exocyclic group formula -N(R⁷)SO₂R⁶;
 - c) oxidising the dihydropyrimidine produced in step b) to form a compound of Formula (3); wherein

 R^1 , R^2 ; E, X^1 and X^2 are as defined in claim 1;

10 R⁶ represents alky or aryl, preferably methyl;

R⁷ is H, alkyl or aryl; and

X⁴ represents a leaving group, preferably Cl or Br.

- 24. A process according to claim 22 or 23, wherein R¹ represents isopropyl, R² represents 4-fluorophenyl, X¹ and X² together represent =O, R⁶ represents methyl, E represents a group of formula -CO₂(C_{1.4}alkyl), and R⁷ is H or methyl.
 - 25. A compound of formula (CH₃)₂CH-CO-CH₂-CO₂-C₃H₇
- 20 26. A compound according to claim 25, of formula: